WHAT IS CLAIMED IS:

1. An inositol derivative of formula (I):

$$R^{3}O$$
 $(OR^{1})_{4}$
 $(OR^{1})_{4}$
 $(OR^{1})_{4}$
 OR^{2}
 (I)

wherein

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R¹ is

, where n is an integer in the range of 1 to 12;

R² and R³ are each independently H, alkyl, arylalkyl, cycloalkyl, heteroalkyl, - (CH₂)_mNHR', -(CH₂)_lCO₂R", -COR'" or -SO₂R"", where R', R", R" and R"" are each alkyl, m is an integer in the range of 2 to 5, and 1 is an integer in the range of 1 to 5;

p is an integer in the range of 0 to 2; and

X and X' are each independently -O-CO-O-, -O-CO-NH-(CH₂)_m-O-, -O-CO-15 (CH₂)₁-O- or -O-(CH₂)₁-CO-NH-(CH₂)_m-O-, where m and l are the same as defined above.

2. The inositol derivative of claim 1, which is represented by formula (XV):

$$R^{1}O$$
 OR^{1}
 $R^{1}O$
 OR^{1}
 $R^{1}O$
 OR^{1}
 $R^{1}O$
 OR^{1}
 $R^{1}O$
 OR^{1}
 $R^{1}O$
 OR^{1}
 OR^{2}
 OR^{1}
 OR^{1}
 OR^{1}
 OR^{1}
 OR^{1}
 OR^{2}
 OR^{1}
 OR^{2}
 O

wherein R^1 , R^2 , R^3 , X, X' and p are the same as defined in claim 1.

- 3. The inositol derivative of claim 1, wherein p is 0 or 1.
- 5 4. The inositol derivative of claim 1, wherein n is an integer in the range of 3 to 8.
 - 5. The inositol derivative of claim 1, which is represented by formula (II), (III) or (IV):

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$$R^3O$$
 OR^1
 OR^1
 OR^1
 OR^1
 OR^2
 R^1O
 R^1O
 R^1O
 OR^2

(II)

$$R^{l}O$$
 $R^{l}O$
 $R^{l}O$

(III)

$$R^{1}O$$
 $R^{1}O$
 $R^{1}O$
 $R^{1}O$
 $R^{1}O$
 $R^{1}O$
 $R^{1}O$
 $R^{1}O$
 $R^{1}O$
 $R^{1}O$

(IV)

wherein R^1 , R^2 , R^3 and X are the same as defined in claim 1.

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6. A method for preparing inositol derivatives of formula (I):

$$(OR^{1})_{4} \qquad (OR^{1})_{4} \qquad (OR^{1})_{4}$$

$$R^{3}O \qquad (OR^{2})_{4} \qquad (OR^{2})_{$$

(I), comprising the steps of:

- (a) obtaining intermediates by protecting the hydroxyl groups of myo- or scyllo-inositol;
- 5 (b) obtaining inositol polymers by coupling two or more of the intermediates obtained in step (a);
 - (c) introducing one or more amino acids to the inositol polymer obtained in step (b) by acylation; and
 - (d) introducing guanidinium groups to the amino acid N-terminal of the inositol polymer, wherein R¹, R², R³, X, X' and p are the same as defined in claim 1.
 - 7. The method of claim 6, wherein the intermediate obtained in step (a) is selected from the compounds represented by formulae (V) to (XIII):

wherein R', R", I and m are the same as defined in claim 1,

Bn is benzyl, and

PMB is *p*-methoxybenzyl.

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A composition for delivering a drug or a diagnostic reagent across a 8. biological membrane into a cell or a nucleus, comprising an inositol derivative of formula (I):

$$(OR^{1})_{4}$$
 $(OR^{1})_{4}$ $(OR^{1})_{4}$ $(OR^{1})_{4}$ $(OR^{2})_{4}$ $(OR^{2})_{4}$ (I)

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wherein R¹, R², R³, X, X' and p are the same as defined in claim 1.

- 9. The composition of claim 8, wherein the drug or the diagnostic reagent is an organic compound having a molecular weight ranging from 100 to 1500 g/mol.
- 10. The composition of claim 8, wherein the drug or the diagnostic reagent is a polymer compound selected from a peptide and a nucleic acid.
- 11. The composition of claim 8, wherein the inositol derivative of formula (I) forms a conjugate through a covalent bond with the drug or the diagnostic reagent.
 - 12. The composition of claim 8, wherein the inositol derivative of formula (I) forms an ionic complex through ionic bonds with the drug or the diagnostic reagent.
 - 13. A method for delivering a drug or a diagnostic reagent across a biological membrane into a cell or a nucleus, employing, an inositol derivative of formula (I) as a molecular transporter:

$$(OR^{1})_{4}$$
 $(OR^{1})_{4}$ $(OR^{1})_{4}$ $(OR^{2})_{4}$ $(OR^{2})_{4}$ $(OR^{2})_{4}$ (I)

wherein R^1 , R^2 , R^3 , X, X' and p are the same as defined in claim 1.